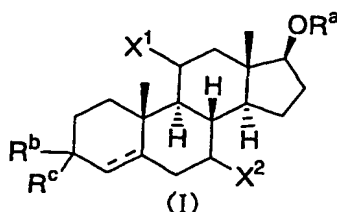


## CLAIMS

1. A compound represented by the general formula (I), pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts:



[wherein  $X^1$  and  $X^2$  represent independently a hydrogen atom or a group represented by the general formula (II)

$-\text{Ar}-\text{A}-\text{R}^1$  (II)

$R^a$  represents a hydrogen atom or a protective group of a hydroxyl group,  $R^b$  and  $R^c$ , when taken together with the carbon atom in 3-position to which they are bound, represent an optionally protected  $-(\text{C}=\text{O})-$ , and the dashed line in combination with the solid line represents the formation of a single bond or a double bond;

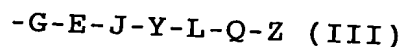
in addition, Ar represents a single bond or an aromatic hydrocarbon group, A represents a methylene group or  $-\text{O}-$ ,  $R^1$  represents an optionally substituted alkyl group, an optionally substituted alkenyl group or an optionally substituted alkynyl group;

provided that  $X^1$  and  $X^2$  are not a hydrogen atom at the same time].

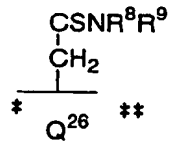
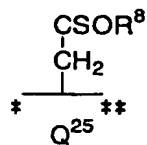
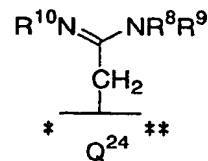
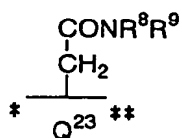
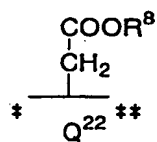
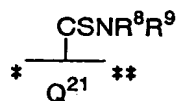
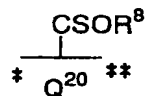
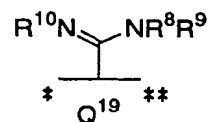
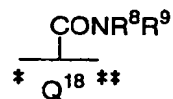
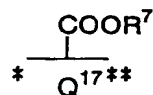
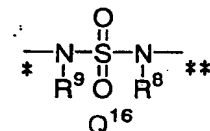
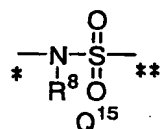
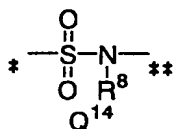
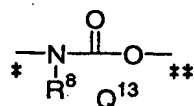
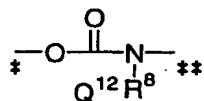
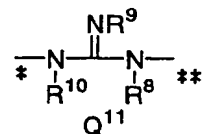
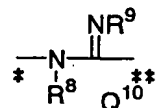
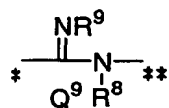
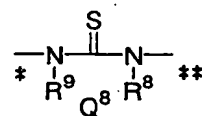
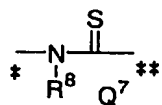
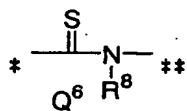
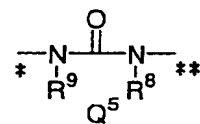
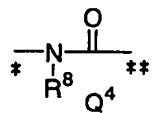
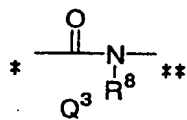
2. The compound according to claim 1, pharmaceutically

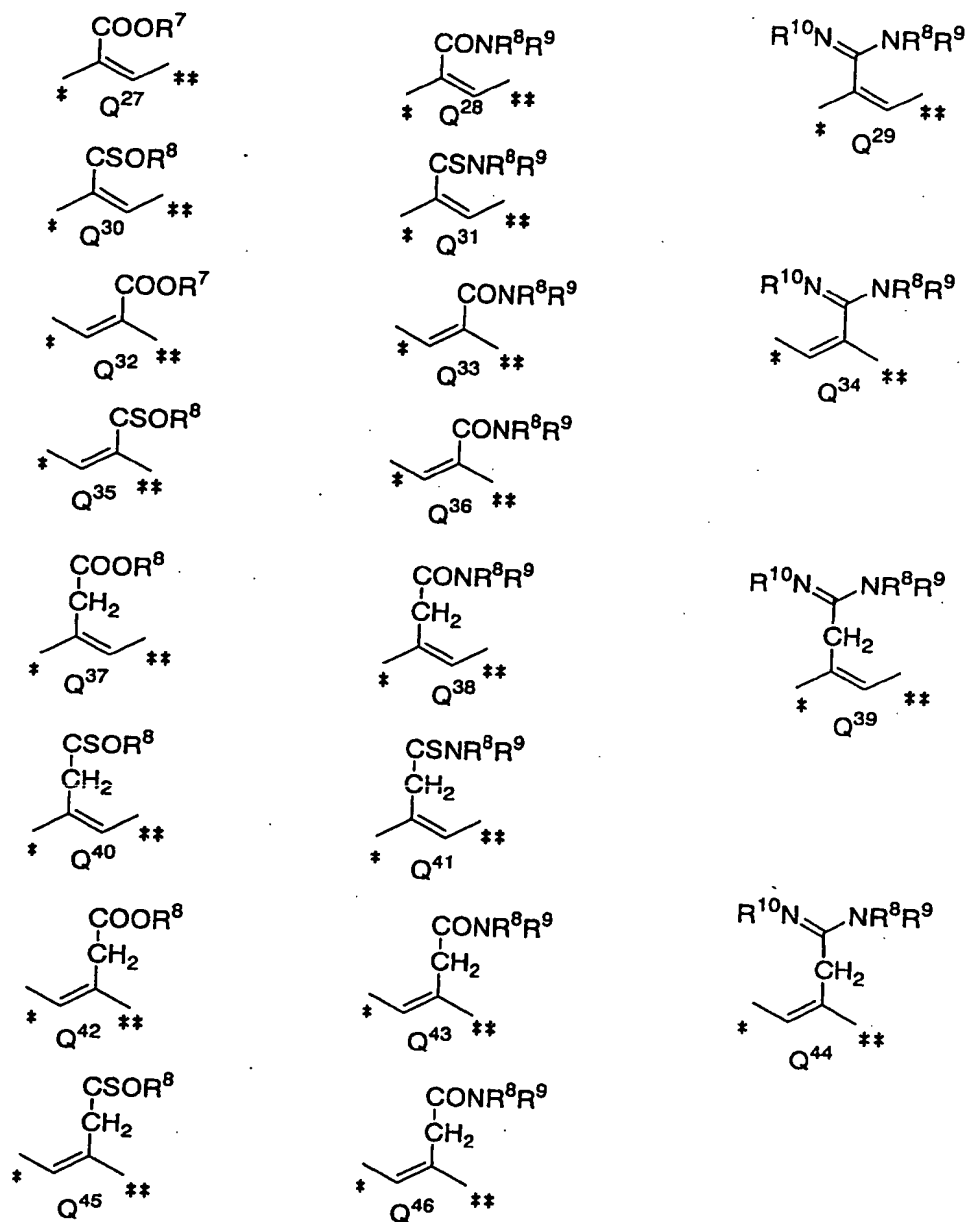
acceptable salts thereof, or prodrugs of the compound or its salts, wherein  $R^1$  is  $R^{1a}$

[where  $R^{1a}$  is the general formula (III)]

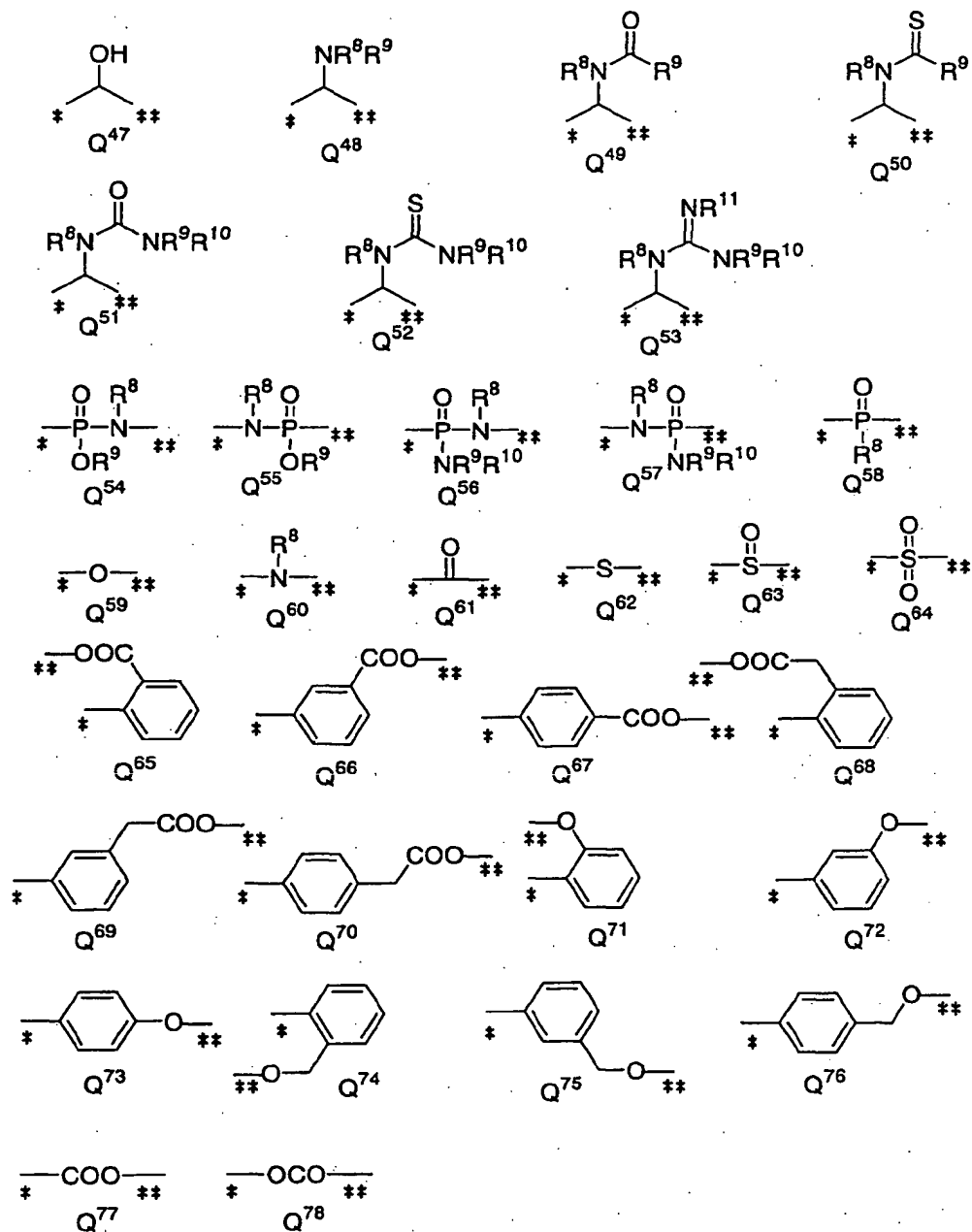


{wherein G represents an optionally substituted straight-chained or branched alkylene group having 1 - 30 carbon atoms, an optionally substituted straight-chained or branched alkenylene groups having 2 - 30 carbon atoms or an optionally substituted straight-chained or branched alkynylene group having 2 - 30 carbon atoms, E represents a single bond or -O-, J represents a single bond, an optionally substituted aromatic hydrocarbon group or an optionally substituted heterocyclic group, Y represents a single bond or -O-, L represents a single bond, a straight-chained or branched alkylene group having 1 - 10 carbon atoms, a straight-chained or branched alkenylene group having 2 - 10 carbon atoms or a straight-chained or branched alkynylene group having 2 - 10 carbon atoms, Q represents a single bond or one group selected from among the following formulae:





 and



(where  $\text{R}^7$  and  $\text{R}^8$  represent independently a hydrogen atom or a straight-chained or branched lower alkyl group having 1 - 6 carbon atoms,  $\text{R}^9$ ,  $\text{R}^{10}$  and  $\text{R}^{11}$  each independently represent a hydrogen atom or a straight-chained or branched lower alkyl group having 1 - 3 carbon atoms), Z represents a hydrogen atom, a straight-chained or branched alkyl group

having 1 - 10 carbon atoms that may optionally be substituted by a halogen atom, a straight-chained or branched alkenyl group having 2 - 10 carbon atoms that may optionally be substituted by a halogen atom, a straight-chained or branched alkynyl group having 2 - 10 carbon atoms that may optionally be substituted by a halogen atom, -O-R<sup>d</sup> (where R<sup>d</sup> represents a hydrogen atom or a protective group of a hydroxyl group), or -COOH), provided that when Q is Q<sup>3</sup>, the nitrogen atom and R<sup>8</sup> in Q<sup>3</sup> may combine with Z to form a heterocyclic group]].

3. The compound according to claim 1 or 2, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein Q is Q<sup>2</sup> [where Q<sup>2</sup> represents a single bond, Q<sup>62</sup>, Q<sup>63</sup>, Q<sup>64</sup>, Q<sup>3</sup> (where R<sup>8</sup> has the same meaning as defined above), Q<sup>4</sup> (where R<sup>8</sup> has the same meaning as defined above), Q<sup>17</sup> (where R<sup>7</sup> has the same meaning as defined above), Q<sup>32</sup> (where R<sup>7</sup> has the same meaning as defined above) or Q<sup>27</sup> (where R<sup>7</sup> has the same meaning as defined above)].

4. The compound according to any one of claims 1 - 3, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein X<sup>1</sup> is -Ar-A-R<sup>1</sup> (wherein Ar, A and R<sup>1</sup> have the same meanings as defined above) and X<sup>2</sup> is a hydrogen atom.

5. The compound according to any one of claims 1 - 3, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein X<sup>1</sup> is a hydrogen atom and X<sup>2</sup> is -Ar-A-R<sup>1</sup> (wherein Ar, A and R<sup>1</sup> have the same

meanings as defined above).

6. The compound according to any one of claims 1 - 5, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein the dashed line forms a single bond together with the solid line.

M 7. The compound according to claim 1, 2, 3, 4 or 6, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein the steric configuration of  $X^1$  in 11-position is  $\beta$ -configuration.

8. The compound according to claim 1, 2, 3, 5 or 6, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein the steric configuration of  $X^2$  in 7-position is  $\alpha$ -configuration.

9. The compound according to any one of claims 1 - 8, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein Z is a straight-chained or branched alkyl group having 1 - 10 carbon atoms which may optionally be substituted by a halogen atom.

Sub 10. The compound according to claim 9, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein Z is a 4,4,5,5,5-pentafluoropentyl group.

Sub 11. The compound according to any one of claims 1 - 10, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein J is a single bond.

Sub 12. The compound according to any one of claims 1 - 11, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein Ar is a single bond.

13. The compound according to any one of claims 1 - 12,

pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein A is a methylene group.

14. The compound according to any one of claims 1 - 13, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein Q is Q<sup>62</sup>, Q<sup>63</sup> or Q<sup>64</sup>.

15. The compound according to any one of claims 1 - 13, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein Q is Q<sup>3</sup> where R<sup>8</sup> is a hydrogen atom or Q<sup>4</sup> where R<sup>8</sup> is a hydrogen atom.

16. The compound according to any one of claims 1 - 13, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein Q is Q<sup>17</sup> where R<sup>7</sup> is a hydrogen atom, Q<sup>32</sup> where R<sup>7</sup> is a hydrogen atom or Q<sup>27</sup> where R<sup>7</sup> is a hydrogen atom.

17. The compound according to any one of claims 1 - 11, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein Ar is an aromatic hydrocarbon group and A is -O-.

18. The compound according to any one of claims 1 - 17, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein G is an optionally substituted straight-chained alkylene group having 2 - 15 carbon atoms.

19. The compound according to claim 18, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, wherein G is an optionally substituted straight-chained alkylene group having 2 - 13 carbon atoms.

20. The compound or substance according to claim 1,



pharmaceutically acceptable salts thereof, or prodrugs of the compound or substance or their salts, wherein  $X^2$  is any one group selected from the group consisting of  $-(CH_2)_pCO-NR^8Z^1$  ( $p$  represents an integer of at least 1,  $R^8$  represents a hydrogen atom, a straight-chained or branched lower alkyl group having 1 - 6 carbon atoms, and  $Z^1$  represents a hydrogen atom or a straight-chained or branched alkyl group having 1 - 10 carbon atoms that may optionally be substituted by a halogen atom),  $-(CH_2)_pSO_2-Z^1$  ( $p$  and  $Z^1$  have the same meanings as defined above),  $-(CH_2)_pSO-Z^1$  ( $p$  and  $Z^1$  have the same meanings as defined above),  $-Ph-O-(CH_2)_pCO-NR^8Z^1$  ( $Ph$  represents a phenylene group and  $p$ ,  $R^8$  and  $Z^1$  have the same meanings as defined above), and  $-Ph-O-(CH_2)_p-H$  ( $p$  has the same meaning as defined above).

- ✓ 21. The compound or substance according to claim 1, pharmaceutically acceptable salts thereof, or prodrugs of the compound or substance or their salts, wherein  $X^2$  is any one group selected from the group consisting of  $-(CH_2)_pCOOH$  ( $p$  is an integer of at least 1),  $-(CH_2)_pOH$  ( $p$  has the same meaning as defined above),  $-Ph-O-(CH_2)_pCOOH$  ( $Ph$  represents a phenylene group and  $p$  has the same meaning as defined above),  $-(CH_2)_pCO-NR^8Z^2$  ( $p$  has the same meaning as defined above,  $R^8$  represents a hydrogen atom or a straight-chained or branched lower alkyl group having 1 - 6 carbon atoms,  $Z^2$  represents a straight-chained or branched alkyl group having 1 - 10 carbon atoms that is substituted by any one group selected from the group consisting of a cycloalkyl group, a hydroxyl group, a carboxyl group, a heterocyclic

group and a phenyl group, or  $-NR^8Z^2$  may be such that N,  $R^8$  and  $Z^2$  combine together to form a hetero ring),  $-(CH_2)_p-Ph-O-(CH_2)_q-CO-NR^8Z^3$  (Ph, p and  $R^8$  have the same meanings as defined above, q represents an integer of at least 1, and  $Z^3$  represents a hydrogen atom or a straight-chained or branched alkyl group having 1 - 10 carbon atoms that may optionally be substituted by any one group selected from the group consisting of a cycloalkyl group, a hydroxyl group, a carboxyl group, a heterocyclic group and a phenyl group, or

$-NR^8Z^3$  may be such that N,  $R^8$  and  $Z^3$  combine together to form a hetero ring) and  $-(CH_2)_p-CH(COOH)-(CH_2)_3-CF_2-CF_3$  (p has the same meaning as defined above).

22. The compound or substance according to claim 1, pharmaceutically acceptable salts thereof, or prodrugs of the compound or substance or their salts, wherein  $X^1$  is any one group selected from the group consisting of  $-(CH_2)_p-COOH$  (p is an integer of at least 1),  $-(CH_2)_p-CH(COOH)-(CH_2)_3-CF_2-CF_3$  (p has the same meaning as defined above),  $-(CH_2)_p-CH(COOME)-(CH_2)_3-CF_2-CF_3$  (p has the same meaning as defined above),  $-O-(CH_2)_p-COOH$  (p has the same meaning as defined above),  $-O-(CH_2)_p-CH(COOH)-(CH_2)_3-CF_2-CF_3$  (p has the same meaning as defined above),  $-(CH_2)_p-S-(CH_2)_3-CF_2-CF_3$  (p has the same meaning as defined above),  $-(CH_2)_p-SO-(CH_2)_3-CF_2-CF_3$  (p has the same meaning as defined above),  $-O-(CH_2)_p-SO-(CH_2)_3-CF_2-CF_3$  (p has the same meaning as defined above),  $-O-(CH_2)_p-SO_2-(CH_2)_3-CF_2-CF_3$  (p has the same meaning as defined above),  $-Ph-O-CH_3$  (Ph represents a phenylene group),  $-Ph-O-$

$(\text{CH}_2)_p\text{-COOH}$  (Ph and p have the same meanings as defined above),  $-(\text{CH}_2)_p\text{-CO-NR}^8\text{Z}^3$  (p has the same meaning as defined above,  $\text{R}^8$  represents a hydrogen atom or a straight-chained or branched lower alkyl group having 1 - 6 carbon atoms,  $\text{Z}^3$  represents a hydrogen atom or a straight-chained or branched alkyl group having 1 - 10 carbon atoms that may optionally be substituted by any one group selected from the group consisting of a cycloalkyl group, a hydroxyl group, a carboxyl group, a heterocyclic group and a phenyl group, or  $-\text{NR}^8\text{Z}^3$  may be such that N,  $\text{R}^8$  and  $\text{Z}^3$  combine together to form a hetero ring),  $-\text{Ph-O-(CH}_2)_p\text{-CO-NR}^8\text{Z}^3$  (Ph, p,  $\text{R}^8$ ,  $\text{Z}^3$  and  $-\text{NR}^8\text{Z}^3$  have the same meanings as defined above) and  $-\text{O-(CH}_2)_p\text{-CO-NR}^8\text{Z}^3$  (p,  $\text{R}^8$ ,  $\text{Z}^3$  and  $-\text{NR}^8\text{Z}^3$  have the same meanings as defined above).

23. The compound according to any one of claims 1 - 3, pharmaceutically acceptable salts thereof, or prodrugs of the compound or its salts, which is selected from among
- 17 $\beta$ -hydroxy-7 $\alpha$ -{7-(N,N-dimethylaminocarbonyl)heptyl}-5 $\alpha$ -androstan-3-one;
  - 17 $\beta$ -hydroxy-7 $\alpha$ -{7-(N-ethylaminocarbonyl)heptyl}-5 $\alpha$ -androstan-3-one;
  - 17 $\beta$ -hydroxy-7 $\alpha$ -[7-(N-(isopropylaminocarbonyl)heptyl)]-5 $\alpha$ -androstan-3-one;
  - 17 $\beta$ -hydroxy-7 $\alpha$ -[7-(N-methyl-N-butylaminocarbonyl)heptyl]-5 $\alpha$ -androstan-3-one;
  - 17 $\beta$ -hydroxy-7 $\alpha$ -[7-(N,N-diethylaminocarbonyl)heptyl]-5 $\alpha$ -androstan-3-one;
  - 17 $\beta$ -hydroxy-7 $\alpha$ -[7-(piperidinocarbonyl)heptyl]-5 $\alpha$ -androstan-

3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[7-{N-(2-furylmethyl)aminocarbonyl}heptyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[7-{N-(N-methylaminocarbonyl)heptyl}-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[7-(N-methyl-N-ethylaminocarbonyl)heptyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[7-(N-methyl-N-propylaminocarbonyl)heptyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[7-(N-methyl-N-isopropylaminocarbonyl)heptyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[7-(N-methyl-N-benzylaminocarbonyl)heptyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[7-(1-pyrrolidinylcarbonyl)heptyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[7-(morpholinocarbonyl)heptyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[9-(N,N-dimethylaminocarbonyl)nonyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[9-(N,N-diethylaminocarbonyl)nonyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[9-(N-methyl-N-butylaminocarbonyl)nonyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[9-(N-methyl-N-propylaminocarbonyl)nonyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[9-(morpholinocarbonyl)nonyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[10-(N,N-dimethylaminocarbonyl)decyl]-5 $\alpha$ -

androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[7-{N-(2-hydroxyethyl)aminocarbonyl}heptyl]-

5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[7-(N-propylaminocarbonyl)heptyl]-5 $\alpha$ -

androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[7-(N-benzylaminocarbonyl)heptyl]-5 $\alpha$ -

androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[7-{N-(2-phenylethyl)aminocarbonyl}heptyl]-

5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-11 $\beta$ -[9-(N,N-diethylaminocarbonyl)nonyl]-5 $\alpha$ -

androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[3-[3-{3-(N-

methylaminocarbonyl)propoxy}phenyl]propyl]-5 $\alpha$ -androstan-3-one;

17 $\beta$ -hydroxy-7 $\alpha$ -[3-[3-{3-(N,N-

dimethylaminocarbonyl)propoxy}phenyl]propyl]-5 $\alpha$ -androstan-3-one; and

17 $\beta$ -hydroxy-7 $\alpha$ -[3-[3-{4-(1-

pyrrolidinylcarbonyl)butoxy}phenyl]propyl]-5 $\alpha$ -androstan-3-one.

24. A substance which acts as antagnoist against but not as agonist for the androgen receptor, or pharmaceutically acceptable salts thereof, or prodrugs of the substance or its salts.

25. A pharmaceutical composition containing as an active ingredient the compound or substance according to any one of claims 1 - 24, or pharmaceutically acceptable salts thereof, or prodrugs of the compound or substance or their

salts.

- ✓ 26. An antiandrogenic agent containing as an active ingredient the compound or substance according to any one of claims 1 - 24, or pharmaceutically acceptable salts thereof, or prodrugs of the compound or substance or their salts.
- ✓ 27. An agent for preventing or treating a disease selected from prostate cancer, prostatomegaly, male pattern alopecia, sexual prematurity, acne vulgaris, seborrhea and hirsutism, said agent containing as an active ingredient the compound or substance according to any one of claims 1 - 24, or pharmaceutically acceptable salts thereof, or prodrugs of the compound or substance or their salts.